REMARKS

Claims 1-17 are pending in this application. No claims have been canceled or added.

Objections to the Specification

The Examiner objects to the specification because the specification does not contain an abstract of the disclosure. Applicants submit on a separate sheet an abstract of the disclosure that conforms to MPEP 608.01(b) and 37 CFR 1.72. As such, Applicants respectfully request that the objection be withdrawn.

Rejections under 35 U.S.C. 112, First Paragraph

The Examiner rejects claims 8-14 under 35 U.S.C. § 112, first paragraph, because the specification allegedly does not adequately teach treatment of neurodegenerative diseases. The Examiner rejects the phrase "neurodegenerative diseases" because the class of diseases is so large and includes many different disorders that have little in common. For instance, the Examiner finds that the class of diseases would include diseases that have very different modes of action and origin. Applicants traverse the rejection and respectfully request the withdrawal thereof.

Applicants amend claims 16 and 17 to delete the phrase "neurodegenerative diseases" from the list of ailments treatable by the claimed composition. Applicants also submit that claims 9-15 are directed to a pharmaceutical composition and do not recite the phrase "neurodegenerative diseases" nor do claims 9-15 depend in anyway from 16 or 17. As such, the rejection of claims 9-17 should be withdrawn as Applicants have pointed out the Examiner's error in rejecting claims 9-15 and Applicants have amended claims 16 and 17 to delete treating "neurodegenerative diseases".

Rejections under 35 U.S.C. 112, Second Paragraph

The Examiner rejects claims 1-17 for failing to particularly point out and distinctly claim the subject matter of the invention. The following items correspond to the items on pages 5-6 of the Office Action.

a.) The Examiner rejects the use of "/" in the nomenclature of the compounds. Applicants amend the compound to use proper nomenclature.

b.) The Examiner rejects the use of the term derivative. Applicants amend the claims to delete the term "derivative" and insert the term "compound". The Examiner also rejects the phrase "quaternary ammonium derivates".

Applicants submit that the Examiner is mistaken, as the phrase "quaternary ammonium derivates" does not appear in the claims.

c.) The Examiner rejects claims 1, 9, 16 and 17 for not clearly reciting the substituents of R^7 and R^8, regarding the phthalimido group which may be optionally substituted. Applicants amend the claims to delete the phrase "which latter is optionally substituted".

d.) The Examiner rejects claim 6 and 14 for the misspelling of "guanyl". Applicants amend the claims to delete the term "guamyl" and insert "guanyl" to correct the obvious spelling error.

e.) The Examiner rejects claims 6 and 14 for lack of antecedent basis for the phrase "(methoxyphenoxy)-(hydroxypropyl) group". Applicants submit that the Examiner in incorrect to find that there is no antecedent basis for this phrase as this phrase is preceded by the word "a" which is proper antecedent basis.

f.) The Examiner rejects claim 8 as indefinite for not clearly defining the substituents for R^3, R^4, n and m. Claim 8 has been amended to more clearly define the substituents.

g.) The Examiner rejects claim 8 as indefinite for not defining the substituents for R^7, R^8 and p within the claim. Claim 8 has been amended to more clearly define the substituents.

h.) The Examiner rejects claim 8 as indefinite for the phrase "and, if desired, an obtained compound". Applicants amend the claim to recite "and, optionally, the compound".

i.) The Examiner rejects claim 8 as indefinite for not defining the substituents for R^1, A and B within the claim. Claim 8 has been amended to more clearly define the substituents.

j.) The Examiner rejects claim 8 for the use of the term "derivative". Applicants amend the claims to delete the term "derivative" and insert the term "salt".

k.) The Examiner rejects claim 16 for the use of the term "especially". Applicants note that this term is also recited in claim 17. As such, Applicants amend claims 16 and 17 to delete the term "especially".

Applicants submit that all rejections under this section have been overcome by amendment or argument. No new matter has been added by any of the claim amendments. As such, Applicants

respectfully request that the rejections under 35 USC 112, second paragraph, be withdrawn.

Rejections under 35 U.S.C. 102(b, f & g)

The Examiner rejections claims 1, 5-9 and 13-17 as anticipated by Hamori et al. (WO 96/04283), claims 1-3, 5-7, 9-11 and 13-17 as anticipated by Tarnawa et al. and claims 1-3, 5-7, 9, 10 and 13-17 as anticipated by Andrasi et al.

Applicants amend claims 1-3, 5-6, 9-11, 13-14 and 16-17 to remove any overlapping subject matter disclosed in the cited references. No new matter has been added by the amendments. As such, Applicants respectfully request that the rejections under 35 USC 102 be withdrawn.

Rejections under 35 U.S.C. 103(a)

The Examiner rejects claims 1, 5-9 and 13-17 as obvious over Hamori et al. (WO 96/04283) and claims 1-3, 5-7, 9, 10 and 13-17 as obvious over Andrasi et al.

The Examiner finds that the instant invention is an obvious modification of the generic structure disclosed in Hamori et al. because the substituents of R^1 and R^3 are interchangeable to arrive

at the instant invention. Applicants traverse the rejection and respectfully request that the rejection be withdrawn.

The Examiner also finds that the instant invention is an obvious modification of the generic structure disclosed in Andrasi et al. because the substituents of R, R^3 and R^4 are interchangeable, and thus one of ordinary skill in the art can modify Andrasi to arrive at the instant invention. Applicants traverse the rejection and respectfully request the withdrawal thereof.

Applicants submit that the disclosure of Hamori et al. does not lead one of ordinary skill in the art to arrive at the instant invention. The Examiner has failed to make a prima facie case of obviousness. The Examiner has not demonstrated how Hamori et al. suggests modifying the substituents to arrive at the instant invention. The Examiner merely concludes that the substituent groups are interchangeable. Moreover, the Examiner has failed to state how one of ordinary skill in the art, even if motivated to interchange the substituents, would have a reasonable expectation of success. The fact that the compound of Hamori et al. can be modified by substituting the substituents does not in and of itself establish that the instant invention is obvious over Hamori et al.

Contrary to the position taken by the Examiner, there is no *per se* rule that a generic teaching renders all subject matter within it

prima facie obvious. (See In re Jones, 958 F.2d 347, 21 USPQ2d 1941 (Fed. Cir. 1992), In re Baird, 16 F.3d 380, 29 USPQ2d 1550 (Fed. Cir. 1994)).

Applicants submit the same arguments as noted for Hamori et al. to rebut the Examiner's rejection based on Tarnawa et al. and Andrasi et al. Again, the Examiner has failed to make a prima facie case of obviousness.

Applicants also submit comparative data in the table below to demonstrate the nonobviousness of the instant invention.

TABLE

Compound (Example No.)	LD_{60} ip. in mg/kg	ΔAUC in °C x hour
16	300	21.5
19	300	39.7
Reference compound "B"	30	71.0

ΔAUC represents the body temperature lowering effect in a gerbil. The animals (gerbils) are treated with a 15 mg/kg dose of the compound to be tested ip., and the treatment is repeated three times every 15 minutes until a total of 4 treatments are employed. The body temperature is recorded from the first treatment for 24 hours. The value of ΔAUC i.e. the body temperature lowering effect of the compound tested is calculated by the following formula:

(body temperature of the untreated control minus body temperature of the treated animal) x time period of the reduced body temperature in hour.

Applicants compared the inventive compounds of instant examples 16 and 19 to the compound of Example 98 of Andrasi et al.(USP 5,536,832) 5-(4-aminophenyl)-8-methyl-7-(N-methylcarbamoyl)-9H-7,8-dihydro-1,3-dioxolo[4,5-h][2,3]benzodiazepine designated as Reference compound B. As is clear from the data in the table, the compound of Andrasi et al. is more toxic than the instant compounds of examples 16 and 19 and has a significant side-effect, lowering of body temperature. The lowering of body temperature is a common side effect known from the compounds of Andrasi et al. The compounds of the instant invention have solved the problem of this side effect.

Applicants submit that the instant invention is not obvious over Hamori et al., Tarnawa et al. or Andrasi et al. As Applicants have effectively addressed and rebutted the rejections based on 35 USC 103(a), Applicants respectfully request that the rejections be withdrawn.

Claim Objections

The Examiner rejects claim 15 for being in improper dependent form. Applicants amend the claim to delete the dependency from

claim 6 and insert the definitions of R1, A and B into claim 15 so that the claim only depends from claim 14. No new matter has been added by this amendment. As such, Applicants submit that the objection has been overcome and should be withdrawn.

Pursuant to the provisions of 37 C.F.R. §§ 1.17 and 1.136(a), the Applicants hereby petition for an extension of one (1) month to September 7, 2001 in which to file a reply to the Office Action. The required fee of $110.00 is enclosed herewith.

Should there be any outstanding matters that need to be resolved in the present application, the Examiner is respectfully requested to contact Kecia J. Reynolds (Reg. No. 47,021) at the telephone number of the undersigned below, to conduct an interview in an effort to expedite prosecution in connection with the present application.

Attached hereto is a marked-up version of the changes made to the application by this Amendment.

If necessary, the Commissioner is hereby authorized in this, concurrent, and future replies, to charge payment or credit any overpayment to Deposit Account No. 02-2448 for any additional fees

required under 37 C.F.R. §§ 1.16 or 1.17; particularly, extension of time fees.

Respectfully submitted,

BIRCH, STEWART, KOLASCH & BIRCH, LLP

By _____
Marc S. Weiner, #32,181

P.O. Box 747
Falls Church, VA 22040-0747
(703) 205-8000

MSW/KJR/bsh

Attachment: Version with Markings to Show Changes Made

The Abstract has been added as follows:

--**ABSTRACT**

The disclosure relates to a novel 1,3-dioxolo-[4,5-h][2,3]benzodiazepine compound of the formula I and pharmaceutically acceptable salts thereof, a process for preparing the same, a pharmaceutical composition containing a 1,3-dioxolo-[4,5-h][2,3]benzodiazepine compound, and a method of treatment using the pharmaceutical composition. A complete description of the compounds of formula I is found in the specification.

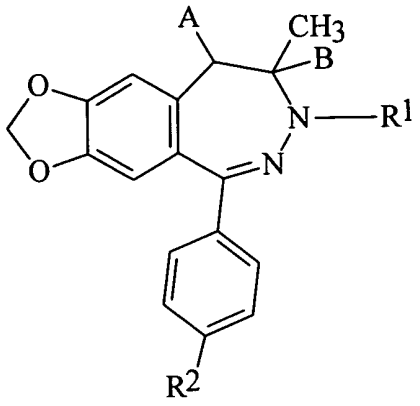
The compounds of the general formula I possess unique competitive AMPA/kainite antagonist properties making them useful in the treatment of diseases where inhibition of the AMPA/kainite receptors may have a favorable effect.--

VERSION WITH MARKINGS TO SHOW CHANGES MADE

In the Claims:

The claims have been amended as follows:

Claim 1. (Amended) A [1,3-dioxolo/4,5-h//2,3/benzodiazepine derivative] 1,3-dioxolo-[4,5-h][2,3]benzodiazepine compound of the formula I



I

wherein

A represents a hydrogen atom,

B means a hydrogen atom,

R^1 stands for a group of the formula

$-(CH_2)_n-CO-(CH_2)_m-R$, wherein

R represents a halo atom, a pyridyl group or a group of the formula $-NR^3R^4$, wherein

R^3 and R^4 mean, independently, a hydrogen atom, a C_{3-6} cycloalkyl group, a C_{1-4} alkoxy group, an

amino group, a phenyl group optionally substituted by one or two C_{1-4} alkyl group(s), a C_{1-4} alkyl group which latter is optionally substituted by a phenyl group or a saturated heterocyclic group having 5 or 6 members and comprising 1 to 3 nitrogen atom(s) or a nitrogen atom and an oxygen atom as the heteroatom, and said heterocyclic group is optionally substituted by a phenyl group which latter is optionally substituted by 1 to 3 substituent(s), wherein the substituent consists of a C_{1-4} alkoxy group, or

R^3 and R^4 form, with the adjacent nitrogen atom and optionally with a further nitrogen atom or an oxygen atom, a saturated or unsaturated heterocyclic group having 5 or 6 members, being optionally substituted by a phenyl group that is optionally substituted by 1 to 3 substituents, wherein the substituent is a C_{1-4} alkoxy group,

n has a value of 0, 1 or 2,

m has a value of 0, 1 or 2, or

A forms together with B a valence bond between the carbon atoms in positions 8 and 9, and in this case

R^1 represents a group of the formula

$-CO-(CH_2)_p-R^6$, wherein

R^6 stands for a halo atom, a phenoxy group, a C_{1-4} alkoxy group or a group of the formula $-NR^7R^8$, wherein

R^7 and R^8 mean, independently, a hydrogen atom, a guanyl group, a C_{3-6} cycloalkyl group or a C_{1-4} alkyl group which latter is optionally substituted by a phenyl group or a saturated heterocyclic group having 5 or 6 members and comprising one or more nitrogen atom(s) or a nitrogen and an oxygen atom as the heteroatom, where the phenyl group is optionally substituted by 1 to 3 identical or different substituent(s), wherein the substituent is a C_{1-4} alkoxy group, or

R^7 and R^8 form together with the adjacent nitrogen atom, an oxopyrrolidinyl group, a phthalimido group [which latter is optionally substituted], or a saturated heterocyclic group having 5 or 6 members and comprising one or more nitrogen atom(s) or a nitrogen and an

oxygen atom as the heteroatom, and said heterocyclic group is optionally substituted by 1 to 3 identical or different substituent(s) selected from the group consisting of a hydroxy group, a phenyl group, a phenoxy group, a phenyl(C_{1-4} alkyl) group or a phenoxy(C_{1-4} alkyl) group, wherein in case of the substituents listed the phenyl or phenoxy group is optionally substituted by 1 to 3 identical or different substituent(s), wherein the substituent is a halo atom or a C_{1-4} alkoxy group, and, in case of the phenoxy(C_{1-4} alkyl) group, the alkyl group is optionally substituted by 1 or 2 hydroxy group(s),

p has a value of 0, 1 or 2,

R^2 stands for a nitro group, an amino group or a (C_{1-4} alkanoyl)amino group, <u>with the proviso that</u>

<u>1) if A forms together with B a valence bond, R^2 stands for an amino group and p has a value of 0, then R^6 is different from a C_{1-4} alkoxy group,</u>

2) if A forms together with B a valence bond, R^2 stands for an amino group, p has a value of 0 or 1, and R^6 represents a group of the formula $-NR^7R^8$, then one of R^7 and R^8 is different from a hydrogen atom or a C_{1-4} alkyl group,

3) if each of A and B stands for a hydrogen atom, n and m have a value of 0, then one of R^3 and R^4 represents a hydrogen atom, and the other of R^3 and R^4 is different from a hydrogen atom or a C_{1-4} alkyl group, and

4) if each of A and B stands for a hydrogen atom, n has a value of 0, m has a value of 1 or 2, and one of R^3 and R^4 stands for a hydrogen atom or a C_{1-4} alkyl group, then the other of R^3 and R^4 is different from a hydrogen atom or a C_{1-4} alkyl group,

and pharmaceutically suitable acid addition salts thereof.

Claim 2. (Amended) A [1,3-dioxolo/4,5-h//2,3/benzodiazepine derivative] 1,3-dioxolo-[4,5-h][2,3]benzodiazepine compound as claimed in Claim 1, wherein

A represents a hydrogen atom,

B means a hydrogen atom,

R^1 stands for a group of the formula

$-(CH_2)_n-CO-(CH_2)_m-R$, wherein

R represents a chloro atom, a pyridyl group or a group of the formula $-NR^3R^4$, wherein

R^3 and R^4 mean, independently, a hydrogen atom, a cyclopropyl group, a C_{1-4} alkoxy group, an amino group, a phenyl group optionally substituted by one or two methyl group(s), or a C_{1-4} alkyl group which latter is optionally substituted by a phenyl group or a saturated heterocyclic group having 5 or 6 members and comprising 1 to 3 nitrogen atom(s) or a nitrogen atom and an oxygen atom as the heteroatom, and said heterocyclic group is optionally substituted by a phenyl group which latter is optionally substituted by 1 to 3 methoxy groups, or

R^3 and R^4 form, with the adjacent nitrogen atom and optionally with a further nitrogen atom or an oxygen atom, a saturated or unsaturated heterocyclic group having 5 or 6 members, being

optionally substituted by a phenyl group that is optionally substituted by 1 to 3 methoxy groups,

n has a value of 0, 1 or 2,

m has a value of 0, 1 or 2,

R^2 stands for a nitro group or an amino group, with the proviso that

1) if n and m have a value of 0, then one of R^3 and R^4 represents a hydrogen atom, and the other of R^3 and R^4 is different from a hydrogen atom or a C_{1-4} alkyl group, and

2) if n have a value of 0, m has a value of 1 or 2, and one of R^3 and R^4 stands for a hydrogen atom or a C_{1-4} alkyl group, then the other of R^3 and R^4 is different from a hydrogen atom or a C_{1-4} alkyl group,

and pharmaceutically suitable acid addition salts thereof.

Claim 3. (Amended) A [1,3-dioxolo/4,5-h//2,3/benzodiazepine derivative] 1,3-dioxolo-[4,5-h][2,3]benzodiazepine compound as claimed in Claim 2, wherein

R^3 and R^4 represent, independently, a hydrogen atom, a cyclopropyl group, a methoxy group, an amino group, a dimethylaminophenyl group or a C_{1-2} alkyl group which latter is substituted by a phenyl, morpholino or piperazinyl group, wherein the piperazinyl group is substituted by a methoxyphenyl group, or

R^3 and R^4 form, together with the adjacent nitrogen atom and optionally a further nitrogen atom or oxygen atom, an imidazolyl, morpholino or piperazinyl group, wherein the piperazinyl group is substituted by a methoxyphenyl group,

n has a value of 0 or 1,

m has a value of 0 or 1,

R^2 stands for a nitro group or an amino group,

A represents a hydrogen atom,

B means a hydrogen atom, with the proviso that

1) if n and m have a value of 0, then one of R^3 and R^4 represents a hydrogen atom, and the other of R^3 and R^4 is different from a hydrogen atom, and

2) if n has a value of 0, m has a value of 1 or 2, and one of R^3 and R^4 stands for a hydrogen atom, then

the other of R^3 and R^4 is different from a hydrogen atom,

and a pharmaceutically suitable acid addition salts thereof.

Claim 4. (Amended) A [1,3-dioxolo/4,5-h//2,3/benzodiazepine derivative] 1,3-dioxolo-[4,5-h][2,3]benzodiazepine compound as claimed in Claim 3, wherein

R^3 represents a hydrogen atom,

R^4 stands for a cyclopropyl group, a methoxy group or an amino group,

n has a value of 0,

m has a value of 0,

R^2 means an amino group,

A represents a hydrogen atom,

B means a hydrogen atom,

and pharmaceutically suitable acid addition salts thereof.

Claim 5. (Amended) A [8-methyl-7H-1,3-dioxolo/4,5-h//2,3/benzodiazepine derivative] 8-methyl-7H-1,3-dioxolo-[4,5-h][2,3]benzodiazepine compound as claimed in Claim 1, wherein in formula I

A forms together with B a valence bond between the carbon atoms in positions 8 and 9,

R^1 represents a group of the formula

$-CO-(CH_2)_p-R^6$, wherein

R^6 stands for a halo atom, a phenoxy group, a C_{1-4} alkoxy group or a group of the formula $-NR^7R^8$, wherein

R^7 and R^8 mean, independently, a hydrogen atom, a guanyl group, or a C_{1-4} alkyl group which latter is optionally substituted by a phenyl group or a morpholino group, wherein the phenyl group is optionally substituted by one or two C_{1-2} alkoxy group(s), or

R^7 and R^8 form together with the adjacent nitrogen atom an oxopyrrolidinyl group, a phthalimido group or a saturated heterocyclic group having 5 or 6 members and comprising one or two nitrogen atom(s) or a nitrogen and an oxygen atom as the heteroatom, and said heterocyclic group is optionally substituted by 1 to 2 identical or different substituents(s) selected from the group consisting of a hydroxy group, a phenyl group, a phenoxy group, a phenyl(C_1-

$_4$ alkyl) group or a phenoxy(C_{1-4} alkyl) group, wherein in case of the substituents listed the phenyl or phenoxy group is optionally substituted by a halo atom or a C_{1-4} alkoxy group,

p has a value of 0, 1 or 2,

R^2 stands for a nitro group or an amino group, with the proviso that

1) if A forms together with B a valence bond, R^2 stands for an amino group and p has a value of 0, then R^6 is different from a C_{1-4} alkoxy group,

2) if A forms together with B a valence bond, R^2 stands for an amino group, p ha a value of 0 or 1, and R^6 represents a group of the formula $-NR^7R^8$, then one of R^7 and R^8 is different from a hydrogen atom or a C_{1-4} alkyl group,

and pharmaceutically suitable acid addition salts thereof.

Claim 6. (Amended) A [8-methyl-7H-1,3-dioxolo/4,5-h//2,3/benzodiazepine derivative] 8-methyl-7H-1,3-dioxolo-[4,5-h][2,3]benzodiazepine compound as claimed in Claim 5, wherein

A forms together with B a valence bond between the carbon atoms in positions 8 and 9,

R^2 represents a nitro group or an amino group,

R^1 stands for a group of the formula

$-CO-(CH_2)_p-R^6$, wherein

R^6 means a chloro atom, a phenoxy group, or a group of the formula $-NR^7R^8$, wherein

R^7 and R^8 represent, independently, a hydrogen atom, a [guamyl] guanyl group or a C_{1-3} alkyl group optionally substituted by a phenyl group, a dimethoxyphenyl group or a morpholino group, or

R^7 and R^8 form with the adjacent nitrogen atom, an oxopyrrolindinyl group, a phthalimido group or a saturated heterocyclic group having 5 or 6 members and comprising one or two nitrogen atom(s) or a nitrogen and an oxygen atom as the heteroatom, and said heterocyclic group is optionally substituted by one or two identical or different substituent(s) selected from the group consisting of a hydroxy group, a methoxyphenyl group, a fluorophenyl group, a benzyl group or a (methoxy-phenoxy)-(hydroxypropyl) group,

p has a value of 0, 1 or 2, with the proviso that

if A forms together with B a valence bond, R^2 stands for an amino group, p has a value of 0 or 1, and R^6 represents a group of the formula $-NR^7R^8$, then one of R^7 and R^8 is different from a hydrogen atom or a C_{1-3} alkyl group,

and pharmaceutically suitable acid addition salts thereof.

Claim 7. (Amended) A [8-methyl-7H-1,3-dioxolo/4,5-h//2,3/benzodiazepine derivative] 8-methyl-7H-1,3-dioxolo-[4,5-h][2,3]benzodiazepine compound as claimed in Claim 6, wherein

R^2 represents an amino group,

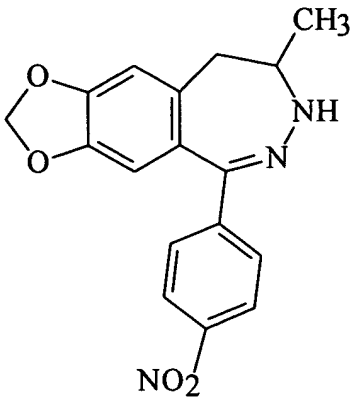
R^1, A and B are as defined in Claim 6,

and pharmaceutically suitable acid addition salts thereof.

Claim 8. (Amended) A process for the preparation of a [1,3-dioxolo/4,5-h//2,3/benzodiazepine derivative] 1,3-dioxolo-[4,5-h][2,3]benzodiazepine compound of the formula I, wherein R^1 and R^2 are as defined in Claim 1, and pharmaceutically suitable acid addition salts thereof, characterized in that

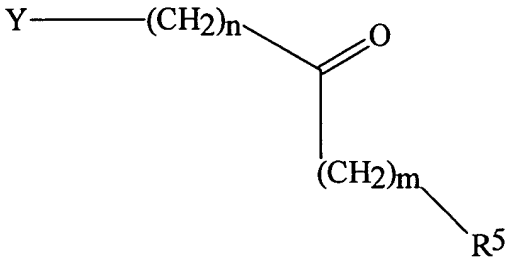
a) for the preparation of a compound of the formula I, wherein R^1 represents a group of the formula $-(CH_2)_n-CO-(CH_2)_m-R$, wherein R stands for a halo atom or a pyridyl group, n has a value of 0, 1 or 2, m has a value of 0, 1 or 2, R^2 means a nitro group, A

and B represent a hydrogen atom, the [7,8-dihydro-8-methyl-5-(4-nitrophenyl)-9H-1,3-dioxolo/4,5-h//2,3/benxodiazepine] 7,8-dihydro-8-methyl-5-(4-nitrophenyl)-9H-1,3-dioxolo[4,5-h][2,3]benzodiazepine of the formula III



III

is reacted with a reagent of the formula VI



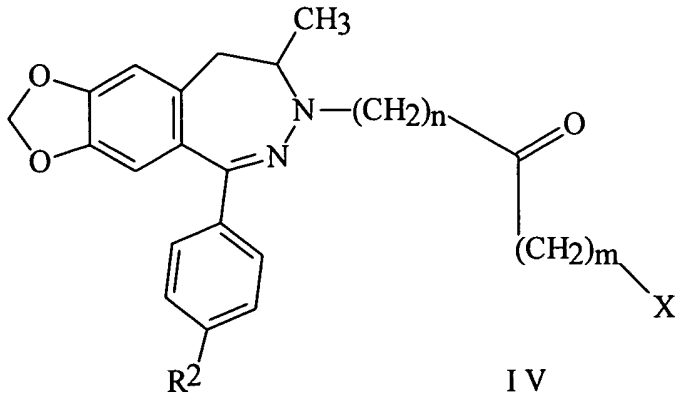
VI

wherein Y represents a leaving group, R^5 is a halo atom or a pyridyl group; or

b) for the preparation of a compound of the formula I, wherein R^1 represents a group of the formula $-(CH_2)_n-CO-(CH_2)_m-R$, wherein R stands for an imidazolyl group, n has a value of 0, m has a value of 0, R^2 means a nitro group, A and B represent a hydrogen

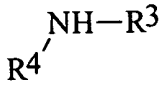
atom, the [7,8-dihydro-8-methyl-5-(4-nitrophenyl)-9H-1,3-dioxolo/4,5-h//2,3/benxodiazepine] 7,8-dihydro-8-methyl-5-(4-nitrophenyl)-9H-1,3-dioxolo[4,5-h][2,3]benzodiazepine of the formula III is reacted with 1,1'-carbonyldiimidazole; or

c) for the preparation of a compound of the formula I, wherein R^1 represents a group of the formula $-(CH_2)_n-CO-(CH_2)_m-R$, wherein R stands for a group of the formula $-NR^3R^4$, wherein R^3, R^4, n and m are as defined [in connection with formula I,] in Claim 1, R^2 means a nitro group, A and B represent a hydrogen atom, the [7,8-dihydro-8-methyl-5-(4-nitrophenyl)-9H-1,3-dioxolo/4,5-h//2,3/benxodiazepine] 7,8-dihydro-8-methyl-5-(4-nitrophenyl)-9H-1,3-dioxolo[4,5-h][2,3]benzodiazepine of the formula III is reacted with a reagent of the formula VI, wherein Y and R^5 represent, independently, a leaving group, n and m are as stated above, and the obtained benzodiazepine [derivative] compound of the formula IV



IV

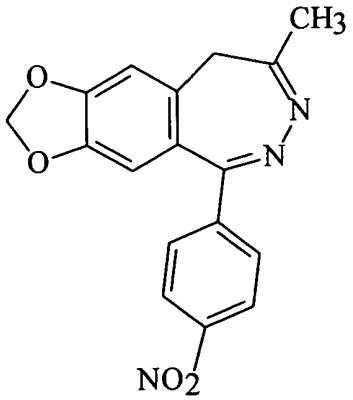
wherein X stand for a leaving group, n and m are as stated above, is reacted with an amine of the formula VII



VII

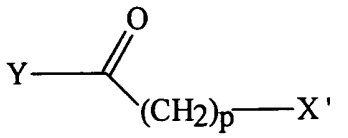
wherein R^3 and R^4 are as stated above; or

d) for the preparation of a compound of the formula I, wherein R^1 stands for a group of the formula $-CO-(CH_2)_p-R^6$, wherein R^6 represents a halo atom, a phenoxy group or a C_{1-4} alkoxy group, p has a value of 0, 1 or 2, A forms together with B a valence bond, R^2 means a nitro group, the [8-methyl-5-(4-nitrophenyl)-9H-1,3-dioxolo/4,5-h//2,3/benxodiazepine] 8-methyl-5-(4-nitrophenyl)-9H-1,3-dioxolo[4,5-h][2,3]benzodiazepine of the formula II



II

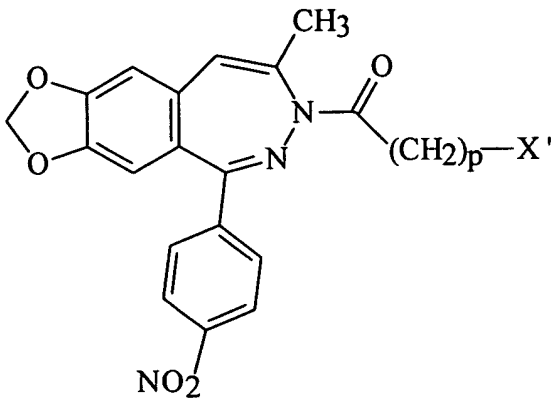
is reacted with an acylating agent of the formula IX



IX

wherein Y represents a leaving group, X' stands for a halo atom, a phenoxy group or a C_{1-4} alkoxy group, p has a value of 0, 1 or 2; or

e) for the preparation of a compound of the formula I, wherein R^1 stands for a group of the formula $-CO-(CH_2)_p-R^6$, wherein R^6 represents a group of the formula $-NR^7R^8$, wherein R^7, R^8 and p are as defined in [connection with the formula I] Claim 1, A forms together with B a valence bond, R^2 means a nitro group, the [8-methyl-5-(4-nitrophenyl)-9H-1,3-dioxolo/4,5-h//2,3/benxodiazepine] 8-methyl-5-(4-nitrophenyl)-9H-1,3-dioxolo[4,5-h][2,3]benzodiazepine of the formula II is reacted with an acylating agent of the formula IX, wherein each of Y and X' represents, independently, a leaving group, p is as stated above, and the obtained acylated compound of the formula VIII



VIII

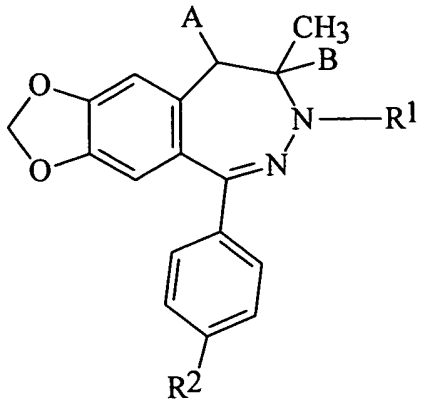
wherein X' and p are as defined above, is reacted with an amine of the formula HNR^7R^8, wherein R^7 and R^8 are as stated above;

and, [if desired, an obtained] optionally the compound of the formula I, wherein R^2 represents a nitro group, R^1, A and B are as defined in [connection with the formula I] Claim 1, is transformed into a compound of the formula I, wherein R^2 stands for an amino group, by reduction;

and, [if desired, an obtained] optionally the compound of the formula I, wherein R^2 represents an amino group, R^1, A and B are as defined in [connection with the formula I] Claim 1, is reacted with a C_{1-4} alkanecarboxylic acid or a reactive acylating [derivative] salt thereof;

and, [if desired an obtained] optionally, a base of the formula I is converted to a pharmaceutically suitable acid addition salt or liberated from the acid addition salt.

Claim 9. (Amended) A pharmaceutical composition comprising a [1,3-dioxolo/4,5-h//2,3/benzodiazepine derivative] 1,3-dioxolo-[4,5-h][2,3]benzodiazepine compound of the formula I



I

wherein

A represents a hydrogen atom,

B means a hydrogen atom,

R^1 stands for a group of the formula

$-(CH_2)_n-CO-(CH_2)_m-R$, wherein

R represents a halo atom, a pyridyl group or a group of the formula $-NR^3R^4$, wherein

R^3 and R^4 mean, independently, a hydrogen atom, a C_{3-6} cycloalkyl group, a C_{1-4} alkoxy group, an amino group, a phenyl group optionally substituted by one or two C_{1-4} alkyl group(s), a C_{1-4} alkyl group which latter is optionally substituted by a phenyl group or a saturated

heterocyclic group having 5 or 6 members and comprising 1 to 3 nitrogen atom(s) or a nitrogen atom and an oxygen atom as the heteroatom, and said heterocyclic group is optionally substituted by a phenyl group which latter is optionally substituted by 1 to 3 substituent(s), wherein the substituent consists of a C_{1-4} alkoxy group, or

R^3 and R^4 form, with the adjacent nitrogen atom and optionally with a further nitrogen atom or an oxygen atom, a saturated or unsaturated heterocyclic group having 5 or 6 members, being optionally substituted by a phenyl group that is optionally substituted by 1 to 3 substituents, wherein the substituent is a C_{1-4} alkoxy group,

n has a value of 0, 1 or 2,

m has a value of 0, 1 or 2, or

A forms together with B a valence bond between the carbon atoms in positions 8 and 9, and in this case

R^1 represents a group of the formula

$-CO-(CH_2)_p-R^6$, wherein

R^6 stands for a halo atom, a phenoxy group, a C_{1-4} alkoxy group or a group of the formula $-NR^7R^8$, wherein

R^7 and R^8 mean, independently, a hydrogen atom, a guanyl group, a C_{3-6} cycloalkyl group or a C_{1-4} alkyl group which latter is optionally substituted by a phenyl group or a saturated heterocyclic group having 5 or 6 members and comprising one or more nitrogen atom(s) or a nitrogen and an oxygen atom as the heteroatom, wherein the phenyl group is optionally substituted by 1 to 3 identical or different substituent(s), wherein the substituent is a C_{1-4} alkoxy group, or

R^7 and R^8 form together with the adjacent nitrogen atom, an oxopyrrolidinyl group, a phthalimido group which latter is optionally substituted, or a saturated heterocyclic group having 5 or 6 members and comprising one or more nitrogen atom(s) or a nitrogen and an oxygen atom as the heteroatom, and said heterocyclic group is optionally substituted by 1 to 3 identical or

different substituent(s) selected from the group consisting of a hydroxy group, a phenyl group, a phenoxy group, a phenyl(C_{1-4} alkyl) group or a phenoxy(C_{1-4} alkyl) group, wherein in case of the substituents listed the phenyl or phenoxy group is optionally substituted by 1 to 3 identical or different substituent(s), wherein the substituent is a halo atom or a C_{1-4} alkoxy group, and, in case of the phenoxy(C_{1-4} alkyl) group, the alkyl group is optionally substituted by 1 or 2 hydroxy group(s),

p has a value of 0, 1 or 2,

R^2 stands for a nitro group, an amino group or a (C_{1-4} alkanoyl)amino group, with the proviso that

1) if A forms together with B a valence bond, R^2 stands for an amino group and p has a value of 0, then R^6 is different from a C_{1-4} alkoxy group,

2) if A forms together with B a valence bond, R^2 stands for an amino group, p has a value of 0 or 1, and R^6 represents a group of the formula

$-NR^7R^8$, then one of R^7 and R^8 is different from a hydrogen atom or a C_{1-4} alkyl group,

3) if each of A and B stands for a hydrogen atom, n and m have a value of 0, then one of R^3 and R^4 represents a hydrogen atom, and the other of R^3 and R^4 is different from a hydrogen atom or a C_{1-4} alkyl group, and

4) if each of A and B stands for a hydrogen atom, n has a value of 0, m has a value of 1 or 2, and one of R^3 and R^4 stands for a hydrogen atom or a C_{1-4} alkyl group, then the other of R^3 and R^4 is different from a hydrogen atom or a C_{1-4} alkyl group,

or a pharmaceutically suitable acid addition salt thereof as the active ingredient and one or more conventional carrier(s).

Claim 10. (Amended) A pharmaceutical composition as claimed in Claim 9 comprising a [1,3-dioxolo/4,5-h//2,3/benzodiazepine derivative] 1,3-dioxolo-[4,5-h][2,3]benzodiazepine compound of the formula I, wherein

A represents a hydrogen atom,

B means a hydrogen atom,

R^1 stands for a group of the formula

$-(CH_2)_n-CO-(CH_2)_m-R$, wherein

R represents a chloro atom, a pyridyl group or a group of the formula $-NR^3R^4$, wherein

R^3 and R^4 mean, independently, a hydrogen atom, a cyclopropyl group, a C_{1-4} alkoxy group, an amino group, a phenyl group optionally substituted by one or two methyl group(s), or a C_{1-4} alkyl group which latter is optionally substituted by a phenyl group or a saturated heterocyclic group having 5 or 6 members and comprising 1 to 3 nitrogen atom(s) or a nitrogen atom and an oxygen atom as the heteroatom, and said heterocyclic group is optionally substituted by a phenyl group which latter is optionally substituted by 1 to 3 methoxy groups, or

R^3 and R^4 form, with the adjacent nitrogen atom and optionally with a further nitrogen atom or an oxygen atom, a saturated or unsaturated heterocyclic group having 5 or 6 members, being

optionally substituted by a phenyl group that is optionally substituted by 1 to 3 methoxy groups,

n has a value of 0, 1 or 2,

m has a value of 0, 1 or 2,

R^2 stands for a nitro group or an amino group, with the proviso that

1) if n and m have a value of 0, then one of R^3 and R^4 represents a hydrogen atom, and the other of R^3 and R^4 is different from a hydrogen atom or a C_{1-4} alkyl group, and

2) if n have a value of 0, m has a value of 1 or 2, and one of R^3 and R^4 stands for a hydrogen atom or a C_{1-4} alkyl group, then the other of R^3 and R^4 is different from a hydrogen atom or a C_{1-4} alkyl group,

or a pharmaceutically suitable acid addition salt thereof as the active ingredient.

Claim 11. (Amended) A pharmaceutical composition as claimed in Claim 10 comprising a [1,3-dioxolo/4,5-h//2,3/benzodiazepine derivative] 1,3-dioxolo-[4,5-h][2,3]benzodiazepine compound of the formula I, wherein

R^3 and R^4 represent, independently, a hydrogen atom, a cyclopropyl group, a methoxy group, an amino group, a dimethylaminophenyl group or a C_{1-2} alkyl group which latter is substituted by a phenyl, morpholino or piperazinyl group, wherein the piperazinyl group is substituted by a methoxyphenyl group, or

R^3 and R^4 form, together with the adjacent nitrogen atom and optionally a further nitrogen atom or oxygen atom, an imidazolyl, morpholino or piperazinyl group, wherein the piperazinyl group is substituted by a methoxyphenyl group,

n has a value of 0 or 1,

m has a value of 0 or 1,

R^2 stands for a nitro group or an amino group,

A represents a hydrogen atom,

B means a hydrogen atom, with the proviso that

1) if n and m have a value of 0, then one of R^3 and R^4 represents a hydrogen atom, and the other of R^3 and R^4 is different from a hydrogen atom, and

2) if n has a value of 0, m has a value of 1 or 2, and one of R^3 and R^4 stands for a hydrogen atom, then

the other of R^3 and R^4 is different from a hydrogen atom,

or a pharmaceutically suitable acid addition salt thereof as the active ingredient.

Claim 12. (Amended) A pharmaceutical composition as claimed in Claim 11 comprising a [1,3-dioxolo/4,5-h//2,3/benzodiazepine derivative] 1,3-dioxolo-[4,5-h][2,3]benzodiazepine compound of the formula I, wherein

R^3 represents a hydrogen atom,

R^4 stands for a cyclopropyl group, a methoxy group or an amino group,

n has a value of 0,

m has a value of 0,

R^2 means an amino group,

A represents a hydrogen atom,

B means a hydrogen atom,

or a pharmaceutically suitable acid addition salt thereof as the active ingredient.

Claim 13. (Amended) A pharmaceutical composition as claimed in Claim 9 comprising an [8-methyl-7H-1,3-dioxolo/4,5-

h//2,3/benzodiazepine derivative] 8-methyl-7H-1,3-dioxolo-[4,5-h][2,3]benzodiazepine compound of the formula I, wherein

A forms together with B a valence bond between the carbon atoms in positions 8 and 9,

R^1 represents a group of the formula

$-CO-(CH_2)_p-R^6$, wherein

R^6 stands for a halo atom, a phenoxy group, a C_{1-4} alkoxy group or a group of the formula $-NR^7R^8$, wherein

R^7 and R^8 mean, independently, a hydrogen atom, a guanyl group, or a C_{1-4} alkyl group which latter is optionally substituted by a phenyl group or a morpholino group, wherein the phenyl group is optionally substituted by one or two C_{1-2} alkoxy group(s), or

R^7 and R^8 form together with the adjacent nitrogen atom, an oxopyrrolidinyl group, a phthalimido group or a saturated heterocyclic group having 5 or 6 members and comprising one or two nitrogen atom(s) or a nitrogen and an oxygen atom as the heteroatom, and said heterocyclic group is optionally substituted by 1 to 2 identical or different

substituent(s) selected from the group consisting of a hydroxy group, a phenyl group, a phenoxy group, a phenyl (C_{1-4} alkyl) group or a phenoxy (C_{1-4} alkyl) group, wherein in case of the substituents listed the phenyl or phenoxy group is optionally substituted by a halo atom or a C_{1-4} alkoxy group,

p has a value of 0, 1 or 2,

R^2 stands for a nitro group or an amino group, with the proviso that

1) if A forms together with B a valence bond, R^2 stands for an amino group and p has a value of 0, then R^6 is different from a C_{1-4} alkoxy group,

2) if A forms together with B a valence bond, R^2 stands for an amino group, p ha a value of 0 or 1, and R^6 represents a group of the formula $-NR^7R^8$, then one of R^7 and R^8 is different from a hydrogen atom or a C_{1-4} alkyl group,

or a pharmaceutically suitable acid addition salt thereof as the active ingredient.

Claim 14. (Amended) A pharmaceutical composition as claimed in Claim 13 comprising an [8-methyl-7H-1,3-dioxolo/4,5-

h//2,3/benzodiazepine derivative] 8-methyl-7H-1,3-dioxolo-[4,5-h][2,3]benzodiazepine compound of the formula I, wherein

A forms together with B a valence bond between the carbon atoms in positions 8 and 9,

R^2 represents a nitro group or an amino group,

R^1 stands for a group of the formula

$-CO-(CH_2)_p-R^6$, wherein

R^6 means a chloro atom, a phenoxy group, or a group of the formula $-NR^7R^8$, wherein

R^7 and R^8 represent, independently, a hydrogen atom, a [guamyl] guanyl group or a C_{1-3} alkyl group optionally substituted by a phenyl group, a dimethoxyphenyl group or a morpholino group, or

R^7 and R^8 form with the adjacent nitrogen atom, an oxopyrrolindinyl group, a phthalimido group or a saturated heterocyclic group having 5 or 6 members and comprising one or two nitrogen atom(s) or a nitrogen and an oxygen atom as the heteroatom, and said heterocyclic group is optionally substituted by one or two identical or different substituent(s) selected from the group consisting of a hydroxy group, a methoxyphenyl group, a fluorophenyl group,

a benzyl group or a (methoxy-phenoxy)-(hydroxypropyl) group,

p has a value of 0, 1 or 2, with the proviso that if A forms together with B a valence bond, R^2 stands for an amino group, p has a value of 0 or 1, and R^6 represents a group of the formula $-NR^7R^8$, then one of R^7 and R^8 is different from a hydrogen atom or a C_{1-3} alkyl group,

or a pharmaceutically suitable acid addition salt thereof as the active ingredient.

Claim 15. (Amended) A pharmaceutical composition as claimed in Claim 14 comprising an [8-methyl-7H-1,3-dioxolo/4,5-h//2,3/benzodiazepine derivative] 8-methyl-7H-1,3-dioxolo-[4,5-h][2,3]benzodiazepine compound of the formula I, wherein

R^1 stands for a group of the formula

$-CO-(CH_2)_p-R^6$, wherein

R^6 means a chloro atom, a phenoxy group, or a group of the formula $-NR^7R^8$, wherein

R^7 and R^8 represent, independently, a hydrogen atom, a guanyl group or a C_{1-3} alkyl group optionally substituted by a phenyl group, a

dimethoxyphenyl group or a morpholino group, or

R^7 and R^8 form with the adjacent nitrogen atom, a oxopyrrolindinyl group, a phthalimido group or a saturated heterocyclic group having 5 or 6 members and comprising one or two nitrogen atom(s) or a nitrogen and an oxygen atom as the heteroatom, and said heterocyclic group is optionally substituted by one or two identical or different substituent(s) selected from the group consisting of a hydroxy group, a methoxyphenyl group, a fluorophenyl group, a benzyl group or a (methoxy-phenoxy)-(hydroxypropyl) group,

A represents a hydrogen atom

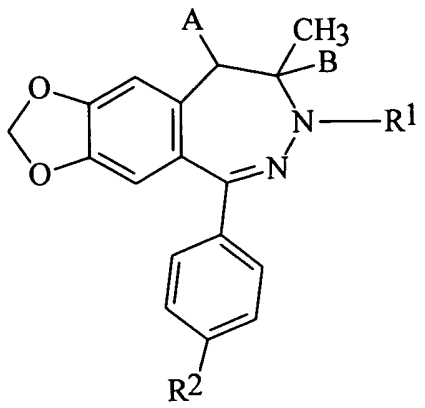
B represents a hydrogen atom and A forms together with B a valence bond between the carbon atoms in positions 8 and 9

R^2 represents an amino group,

[R^1, A and B are as defined in Claim 6,]

or a pharmaceutically suitable acid addition salt thereof as the active ingredient.

Claim 16. (Amended) A method of treatment in which a patient suffering [especially] from epilepsy [or a neurodegenerative disease] or being in a state after stroke is treated with a non-toxic dose of a [1,3-dioxolo/4,5-h//2,3/benzodiazepine derivative] 1,3-dioxolo-[4,5-h][2,3]benzodiazepine compound of the formula I,



I

wherein

A represents a hydrogen atom,

B means a hydrogen atom,

R^1 stands for a group of the formula

$-(CH_2)_n-CO-(CH_2)_m-R$, wherein

R represents a halo atom, a pyridyl group or a group of the formula $-NR^3R^4$, wherein

R^3 and R^4 mean, independently, a hydrogen atom, a C_{3-6} cycloalkyl group, a C_{1-4} alkoxy group, an amino group, a phenyl group optionally

substituted by one or two C_{1-4} alkyl group(s), a C_{1-4} alkyl group which latter is optionally substituted by a phenyl group or a saturated heterocyclic group having 5 or 6 members and comprising 1 to 3 nitrogen atom(s) or a nitrogen atom and an oxygen atom as the heteroatom, and said heterocyclic group is optionally substituted by a phenyl group which latter is optionally substituted by 1 to 3 substituent(s), wherein the substituent consists of a C_{1-4} alkoxy group, or

R^3 and R^4 form, with the adjacent nitrogen atom and optionally with a further nitrogen atom or an oxygen atom, a saturated or unsaturated heterocyclic group having 5 or 6 members, being optionally substituted by a phenyl group that is optionally substituted by 1 to 3 substituents, wherein the substituent is a C_{1-4} alkoxy group,

n has a value of 0, 1 or 2,

m has a value of 0, 1 or 2, or

A forms together with B a valence bond between the carbon atoms in positions 8 and 9, and in this case

R^1 represents a group of the formula

$-CO-(CH_2)_p-R^6$, wherein

R^6 stands for a halo atom, a phenoxy group, a C_{1-4} alkoxy group or a group of the formula $-NR^7R^8$, wherein

R^7 and R^8 mean, independently, a hydrogen atom, a guanyl group, a C_{3-6} cycloalkyl group or a C_{1-4} alkyl group which latter is optionally substituted by a phenyl group or a saturated heterocyclic group having 5 or 6 members and comprising one or more nitrogen atom(s) or a nitrogen and an oxygen atom as the heteroatom, wherein the phenyl group is optionally substituted by 1 to 3 identical or different substituent(s), wherein the substituent is a C_{1-4} alkoxy group, or

R^7 and R^8 form together with the adjacent nitrogen atom, an oxopyrrolidinyl group, a phthalimido group [which latter is optionally substituted], or a saturated heterocyclic group having 5 or 6 members and comprising one or more nitrogen atom(s) or a nitrogen and an oxygen atom as the heteroatom, and said

heterocyclic group is optionally substituted by 1 to 3 identical or different substituent(s) selected from the group consisting of a hydroxy group, a phenyl group, a phenoxy group, a phenyl(C_{1-4} alkyl) group or a phenoxy(C_{1-4} alkyl) group, wherein in case of the substituents listed the phenyl or phenoxy group is optionally substituted by 1 to 3 identical or different substituent(s), wherein the substituent is a halo atom or a C_{1-4} alkoxy group, and, in case of the phenoxy(C_{1-4} alkyl) group, the alkyl group is optionally substituted by 1 or 2 hydroxy group(s),

p has a value of 0, 1 or 2,

R^2 stands for a nitro group, an amino group or a (C_{1-4} alkanoyl)amino group, <u>with the proviso that</u>

<u>1) if A forms together with B a valence bond, R^2 stands for an amino group and p has a value of 0, then R^6 is different from a C_{1-4} alkoxy group,</u>

<u>2) if A forms together with B a valence bond, R^2 stands for an amino group, p has a value of 0</u>

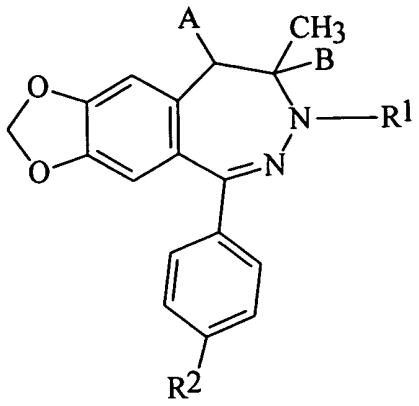
or 1, and R^6 represents a group of the formula $-NR^7R^8$, then one of R^7 and R^8 is different from a hydrogen atom or a C_{1-4} alkyl group,

3) if each of A and B stands for a hydrogen atom, n and m have a value of 0, then one of R^3 and R^4 represents a hydrogen atom, and the other of R^3 and R^4 is different from a hydrogen atom or a C_{1-4} alkyl group, and

4) if each of A and B stands for a hydrogen atom, n has a value of 0, m has a value of 1 or 2, and one of R^3 and R^4 stands for a hydrogen atom or a C_{1-4} alkyl group, then the other of R^3 and R^4 is different from a hydrogen atom or a C_{1-4} alkyl group,

or a pharmaceutically suitable acid addition salt thereof.

Claim 17. (Amended) A process for preparing a pharmaceutical composition suitable for the treatment of [especially] epilepsy[, a neurodegenerative disease] or a state after stroke, characterized in that a [1,3-dioxolo/4,5-h//2,3/benzodiazepine derivative] 1,3-dioxolo-[4,5-h][2,3]benzodiazepine compound of the formula I,



I

wherein

A represents a hydrogen atom,

B means a hydrogen atom,

R^1 stands for a group of the formula

$-(CH_2)_n-CO-(CH_2)_m-R$, wherein

R represents a halo atom, a pyridyl group or a group of the formula $-NR^3R^4$, wherein

R^3 and R^4 mean, independently, a hydrogen atom, a C_{3-6} cycloalkyl group, a C_{1-4} alkoxy group, an amino group, a phenyl group optionally substituted by one or two C_{1-4} alkyl group(s), a C_{1-4} alkyl group which latter is optionally substituted by a phenyl group or a saturated heterocyclic group having 5 or 6 members and comprising 1 to 3 nitrogen atom(s) or a nitrogen atom and an oxygen atom as the heteroatom, and

said heterocyclic group is optionally substituted by a phenyl group which latter is optionally substituted by 1 to 3 substituent(s), wherein the substituent consists of a C_{1-4} alkoxy group, or

R^3 and R^4 form, with the adjacent nitrogen atom and optionally with a further nitrogen atom or an oxygen atom, a saturated or unsaturated heterocyclic group having 5 or 6 members, being optionally substituted by a phenyl group that is optionally substituted by 1 to 3 substituents, wherein the substituent is a C_{1-4} alkoxy group,

n has a value of 0, 1 or 2,

m has a value of 0, 1 or 2, or

A forms together with B a valence bond between the carbon atoms in positions 8 and 9, and in this case

R^1 represents a group of the formula

$-CO-(CH_2)_p-R^6$, wherein

R^6 stands for a halo atom, a phenoxy group, a C_{1-4} alkoxy group or a group of the formula $-NR^7R^8$, wherein

R^7 and R^8 mean, independently, a hydrogen atom, a guanyl group, a C_{3-6} cycloalkyl group or a C_{1-4}

alkyl group which latter is optionally substituted by a phenyl group or a saturated heterocyclic group having 5 or 6 members and comprising one or more nitrogen atom(s) or a nitrogen and an oxygen atom as the heteroatom, wherein the phenyl group is optionally substituted by 1 to 3 identical or different substituent(s), wherein the substituent is a C_{1-4} alkoxy group, or

R^7 and R^8 form together with the adjacent nitrogen atom, an oxopyrrolidinyl group, a phthalimido group [which latter is optionally substituted], or a saturated heterocyclic group having 5 or 6 members and comprising one or more nitrogen atom(s) or a nitrogen and an oxygen atom as the heteroatom, and said heterocyclic group is optionally substituted by 1 to 3 identical or different substituent(s) selected from the group consisting of a hydroxy group, a phenyl group, a phenoxy group, a phenyl(C_{1-4} alkyl) group or a phenoxy(C_{1-4} alkyl) group, wherein in case of

the substituents listed the phenyl or phenoxy group is optionally substituted by 1 to 3 identical or different substituent(s), wherein the substituent is a halo atom or a C_{1-4} alkoxy group, and, in case of the phenoxy(C_{1-4} alkyl) group, the alkyl group is optionally substituted by 1 or 2 hydroxy group(s),

p has a value of 0, 1 or 2,

R^2 stands for a nitro group, an amino group or a (C_{1-4} alkanoyl)amino group, with the proviso that

1) if A forms together with B a valence bond, R^2 stands for an amino group and p has a value of 0, then R^6 is different from a C_{1-4} alkoxy group,

2) if A forms together with B a valence bond, R^2 stands for an amino group, p has a value of 0 or 1, and R^6 represents a group of the formula $-NR^7R^8$, then one of R^7 and R^8 is different from a hydrogen atom or a C_{1-4} alkyl group,

3) if each of A and B stands for a hydrogen atom, n and m have a value of 0, then one of R^3 and R^4 represents a hydrogen atom, and the other of

R^3 and R^4 is different from a hydrogen atom or a C_{1-4} alkyl group, and

4) if each of A and B stands for a hydrogen atom, n has a value of 0, m has a value of 1 or 2, and one of R^3 and R^4 stands for a hydrogen atom or a C_{1-4} alkyl group, then the other of R^3 and R^4 is different from a hydrogen atom or a C_{1-4} alkyl group,

or a pharmaceutically suitable acid addition salt thereof, together with one or more conventional carrier(s), is converted to a pharmaceutical composition.